

## PATENT COOPERATION TREATY

JEM JLF

From the INTERNATIONAL SEARCHING AUTHORITY

PCT

NOTIFICATION OF TRANSMITTAL OF  
THE INTERNATIONAL SEARCH REPORT AND  
THE WRITTEN OPINION OF THE INTERNATIONAL  
SEARCHING AUTHORITY, OR THE DECLARATION

To:  
**GLAXOSMITHKLINE**  
 Attn. Dadswell, Charles E.  
 Five Moore Drive, P.O. Box 13398  
 Research Triangle Park, NC 27709  
 UNITED STATES OF AMERICA

(PCT Rule 44.1)

	Date of mailing (day/month/year)	05/08/2005
Applicant's or agent's file reference  PR60689WO	<b>FOR FURTHER ACTION</b>	See paragraphs 1 and 4 below
International application No.  PCT/US2005/007245	International filing date (day/month/year)	03/03/2005
Applicant  SMITHKLINE BEECHAM CORPORATION		

1.  The applicant is hereby notified that the international search report and the written opinion of the International Searching Authority have been established and are transmitted herewith.

**Filing of amendments and statement under Article 19:**

The applicant is entitled, if he so wishes, to amend the claims of the International Application (see Rule 46):

When? The time limit for filing such amendments is normally 2 months from the date of transmittal of the International Search Report; however, for more details, see the notes on the accompanying sheet.

Where? Directly to the International Bureau of WIPO, 34 chemin des Colombettes  
1211 Geneva 20, Switzerland. Facsimile No.: (41-22) 740.14.35

For more detailed instructions, see the notes on the accompanying sheet.

2.  The applicant is hereby notified that no international search report will be established and that the declaration under Article 17(2)(a) to that effect and the written opinion of the International Searching Authority are transmitted herewith.

3.  With regard to the protest against payment of (an) additional fee(s) under Rule 40.2, the applicant is notified that:

- the protest together with the decision thereon has been transmitted to the International Bureau together with the applicant's request to forward the texts of both the protest and the decision thereon to the designated Offices.
- no decision has been made yet on the protest; the applicant will be notified as soon as a decision is made.

## 4. Reminders

Shortly after the expiration of 18 months from the priority date, the international application will be published by the International Bureau. If the applicant wishes to avoid or postpone publication, a notice of withdrawal of the International application, or of the priority claim, must reach the International Bureau as provided in Rules 90bis.1 and 90bis.3, respectively, before the completion of the technical preparations for international publication.

The applicant may submit comments on an informal basis on the written opinion of the International Searching Authority to the International Bureau. The International Bureau will send a copy of such comments to all designated Offices unless an International preliminary examination report has been or is to be established. These comments would also be made available to the public but not before the expiration of 30 months from the priority date.

Within 19 months from the priority date, but only in respect of some designated Offices, a demand for International preliminary examination must be filed if the applicant wishes to postpone the entry into the national phase until 30 months from the priority date (in some Offices even later); otherwise, the applicant must, within 20 months from the priority date, perform the prescribed acts for entry into the national phase before those designated Offices.

In respect of other designated Offices, the time limit of 30 months (or later) will apply even if no demand is filed within 19 months.

See the Annex to Form PCT/I/B/301 and, for details about the applicable time limits, Office by Office, see the PCT Applicant's Guide, Volume II, National Chapters and the WIPO Internet site.

Name and mailing address of the International Searching Authority  
  
 European Patent Office, P.B. 5818 Patentlaan 2  
 NL-2280 HV Rijswijk  
 Tel. (+31-70) 340-2040, Tx. 31 651 epo nl.  
 Fax: (+31-70) 340-3016

Authorized officer

Sandrine Parriche

## NOTES TO FORM PCT/ISA/220

These Notes are intended to give the basic instructions concerning the filing of amendments under article 19. The Notes are based on the requirements of the Patent Cooperation Treaty, the Regulations and the Administrative Instructions under that Treaty. In case of discrepancy between these Notes and those requirements, the latter are applicable. For more detailed information, see also the PCT Applicant's Guide, a publication of WIPO.

In these Notes, "Article", "Rule", and "Section" refer to the provisions of the PCT, the PCT Regulations and the PCT Administrative Instructions respectively.

### INSTRUCTIONS CONCERNING AMENDMENTS UNDER ARTICLE 19

The applicant has, after having received the international search report, one opportunity to amend the claims of the international application. It should however be emphasized that, since all parts of the international application (claims, description and drawings) may be amended during the international preliminary examination procedure, there is usually no need to file amendments of the claims under Article 19 except where, e.g. the applicant wants the letter to be published for the purposes of provisional protection or has another reason for amending the claims before international publication. Furthermore, it should be emphasized that provisional protection is available in some States only.

**What parts of the international application may be amended?**

Under Article 19, only the claims may be amended.

During the international phase, the claims may also be amended (or further amended) under Article 34 before the International Preliminary Examining Authority. The description and drawings may only be amended under Article 34 before the International Examining Authority.

Upon entry into the national phase, all parts of the international application may be amended under Article 28 or, where applicable, Article 41.

**When?** Within 2 months from the date of transmittal of the international search report or 16 months from the priority date, whichever time limit expires later. It should be noted, however, that the amendments will be considered as having been received on time if they are received by the International Bureau after the expiration of the applicable time limit but before the completion of the technical preparations for international publication (Rule 46.1).

**Where not to file the amendments?**

The amendments may only be filed with the International Bureau and not with the receiving Office or the International Searching Authority (Rule 46.2).

Where a demand for international preliminary examination has been/is filed, see below.

**How?** Either by cancelling one or more entire claims, by adding one or more new claims or by amending the text of one or more of the claims as filed.

A replacement sheet must be submitted for each sheet of the claims which, on account of an amendment or amendments, differs from the sheet originally filed.

All the claims appearing on a replacement sheet must be numbered in Arabic numerals. Where a claim is cancelled, no renumbering of the other claims is required. In all cases where claims are renumbered, they must be renumbered consecutively (Administrative Instructions, Section 205(b)).

The amendments must be made in the language in which the international application is to be published.

**What documents must/may accompany the amendments?**

**Letter (Section 205(b)):**

The amendments must be submitted with a letter.

The letter will not be published with the international application and the amended claims. It should not be confused with the "Statement under Article 19(1)" (see below, under "Statement under Article 19(1)").

The letter must be in English or French, at the choice of the applicant. However, if the language of the international application is English, the letter must be in English; if the language of the international application is French, the letter must be in French.

## NOTES TO FORM PCT/ISA/220 (continued)

The letter must indicate the differences between the claims as filed and the claims as amended. It must, in particular, indicate, in connection with each claim appearing in the international application (it being understood that identical indications concerning several claims may be grouped), whether

- (i) the claim is unchanged;
- (ii) the claim is cancelled;
- (iii) the claim is new;
- (iv) the claim replaces one or more claims as filed;
- (v) the claim is the result of the division of a claim as filed.

**The following examples illustrate the manner in which amendments must be explained in the accompanying letter:**

1. [Where originally there were 48 claims and after amendment of some claims there are 51]: "Claims 1 to 29, 31, 32, 34, 35, 37 to 48 replaced by amended claims bearing the same numbers; claims 30, 33 and 36 unchanged; new claims 49 to 51 added."
2. [Where originally there were 15 claims and after amendment of all claims there are 11]: "Claims 1 to 15 replaced by amended claims 1 to 11."
3. [Where originally there were 14 claims and the amendments consist in cancelling some claims and in adding new claims]: "Claims 1 to 6 and 14 unchanged; claims 7 to 13 cancelled; new claims 15, 16 and 17 added." or "Claims 7 to 13 cancelled; new claims 15, 16 and 17 added; all other claims unchanged."
4. [Where various kinds of amendments are made]: "Claims 1-10 unchanged; claims 11 to 13, 18 and 19 cancelled; claims 14, 15 and 16 replaced by amended claim 14; claim 17 subdivided into amended claims 15, 16 and 17; new claims 20 and 21 added."

### "Statement under article 19(1)" (Rule 46.4)

The amendments may be accompanied by a statement explaining the amendments and indicating any impact that such amendments might have on the description and the drawings (which cannot be amended under Article 19(1)).

The statement will be published with the international application and the amended claims.

It must be in the language in which the international application is to be published.

It must be brief, not exceeding 500 words if in English or if translated into English.

It should not be confused with and does not replace the letter indicating the differences between the claims as filed and as amended. It must be filed on a separate sheet and must be identified as such by a heading, preferably by using the words "Statement under Article 19(1)."

It may not contain any disparaging comments on the international search report or the relevance of citations contained in that report. Reference to citations, relevant to a given claim, contained in the international search report may be made only in connection with an amendment of that claim.

### Consequence if a demand for international preliminary examination has already been filed

If, at the time of filing any amendments under Article 19, a demand for international preliminary examination has already been submitted, the applicant must preferably, at the same time of filing the amendments with the International Bureau, also file a copy of such amendments with the International Preliminary Examining Authority (see Rule 62.2(a), first sentence).

### Consequence with regard to translation of the international application for entry into the national phase

The applicant's attention is drawn to the fact that, where upon entry into the national phase, a translation of the claims as amended under Article 19 may have to be furnished to the designated/elected Office, instead of, or in addition to, the translation of the claims as filed.

For further details on the requirements of each designated/elected Office, see Volume II of the PCT Applicant's Guide.

## PATENT COOPERATION TREATY

## PCT

## INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference  PR60689WO	<b>FOR FURTHER ACTION</b>  see Form PCT/ISA/220 as well as, where applicable, Item 5 below.	
International application No.  PCT/US2005/007245	International filing date (day/month/year)  03/03/2005	(Earliest) Priority Date (day/month/year)  03/03/2004
Applicant  SMITHKLINE BEECHAM CORPORATION		

This International Search Report has been prepared by this International Searching Authority and is transmitted to the applicant according to Article 18. A copy is being transmitted to the International Bureau.

This International Search Report consists of a total of 6 sheets.

It is also accompanied by a copy of each prior art document cited in this report.

## 1. Basis of the report

- a. With regard to the language, the international search was carried out on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.

The international search was carried out on the basis of a translation of the international application furnished to this Authority (Rule 23.1(b)).

- b.  With regard to any nucleotide and/or amino acid sequence disclosed in the international application, see Box No. I.

2.  Certain claims were found unsearchable (See Box II).

3.  Unity of invention is lacking (see Box III).

## 4. With regard to the title,

the text is approved as submitted by the applicant.

the text has been established by this Authority to read as follows:

ANILINE DERIVATIVES AS SELECTIVE ANDROGEN RECEPTOR MODULATORS

## 5. With regard to the abstract,

the text is approved as submitted by the applicant.

the text has been established, according to Rule 38.2(b), by this Authority as it appears in Box No. IV. The applicant may, within one month from the date of mailing of this International search report, submit comments to this Authority.

## 6. With regard to the drawings,

- a. the figure of the drawings to be published with the abstract is Figure No. \_\_\_\_\_

as suggested by the applicant.

as selected by this Authority, because the applicant failed to suggest a figure.

as selected by this Authority, because this figure better characterizes the invention.

- b.  none of the figures is to be published with the abstract.

## INTERNATIONAL SEARCH REPORT

International Application No

PCT/US2005/007245

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07C255/58 A61K31/275

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07C

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, BEILSTEIN Data, CHEM ABS Data, WPI Data, PAJ, INSPEC, COMPENDEX

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	DATABASE CAPLUS CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; XP002337413 Database accession no. 1999:178216 abstract & F.Z.GALIN ET AL.: "Synthesis of N-phenylvaline derivatives under phase transfer catalysis." RUSSIAN JOURNAL OF ORGANIC CHEMISTRY, vol. 34, no. 6, 1998, page 899,	1-4, 9, 15
X	DATABASE CAPLUS CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; XP002337414 Database accession no. 1999:462300 abstract	1-3, 6, 9, 20, 21 -/-

 Further documents are listed in the continuation of box C. Patent family members are listed in annex.

## \* Special categories of cited documents:

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the international filing date
- \*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- \*O\* document referring to an oral disclosure, use, exhibition or other means
- \*P\* document published prior to the International filing date but later than the priority date claimed

- \*T\* later document published after the International filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- \*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- \*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- \*&\* document member of the same patent family

Date of the actual completion of the international search

Date of mailing of the international search report

22 July 2005

05/08/2005

## Name and mailing address of the ISA

European Patent Office, P.O. Box 5010 Patenten 2  
 NL - 2280 HV Rijswijk  
 Tel (+31-70) 340-2040, Tx. 31 651 epo nl.  
 Fax: (+31-70) 340-3016

## Authorized officer

Seelmann, M

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## INTERNATIONAL SEARCH REPORT

International Application No

PCT/US2005/007245

## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	& J.SARAVANAN ET AL.: "RN-244070-45-5" INDIAN DRUGS, vol. 36, no. 3, 1999, pages 192-195, -----  DATABASE CAPLUS CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; XP002337415 Database accession no. 1999:607559 abstract & D.R.TORTOLANI ET AL.: "A convenient synthesis to N-aryl-substituted 4-piperidones." ORGANIC LETTERS, vol. 1, no. 8, 1999, pages 1261-1262, -----	1-3,9,15
X	DATABASE CAPLUS CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; XP002337416 Database accession no. 2001:105630 abstract & H.F.DOVEY ET AL.: "Functional gamma-secretase inhibitors reduce beta-amyloid peptide levels in brain." JOURNAL OF NEUROCHEMISTRY, vol. 76, no. 1, 2001, pages 173-181, -----	1-5,9, 15,20,21
X	DATABASE CAPLUS CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; XP002337417 Database accession no. 2003:692088 abstract & C.S. DUNKLEY ET AL.: "Synthesis and biological evaluation of a novel phenyl-substituted sydnone series as potential antitumor agents." BIOORGANIC & MEDICINAL CHEMISTRY LETTERS, vol. 13, no. 17, 2003, pages 2899-2901, -----	1-3,14, 15,20,21
X	DATABASE CAPLUS CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; XP002337418 Database accession no. 1960:103125 abstract & H. WADA ET AL.: "Synthesis of plant growth substances." NOGAKU KENKYU, vol. 47, 1959, pages 111-113, -----	1-4,6,9
X	DATABASE CAPLUS CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; XP002337419 Database accession no. 1997:278007 abstract	1-3,9,15

## INTERNATIONAL SEARCH REPORT

International Application No

PCT/US2005/007245

## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
	& C.B.DAS ET AL.: "Polyaniline supported cobalt (II)-salen catalyst; one -pot synthesis of beta-phenylisoserine derivatives from cinnamoyl amide." TETRAHEDRON LETTERS, vol. 38, no. 16, 1997, pages 2903-2906,	
X	WO 98/22441 A (ATHENA NEUROSCIENCES, INC; ELI LILLY & COMPANY; AUDIA, JAMES, E; FOLME) 28 May 1998 (1998-05-28) page 14 – page 16; claims 1,6,9-14,16,19-21,24-26,28,31-34	1-4, 8-11,14, 15,20,21
X	WO 98/22493 A (ATHENA NEUROSCIENCES, INC; ELI LILLY & COMPANY; AUDIA, JAMES, E; FOLME) 28 May 1998 (1998-05-28) page 15 – page 18; claims 28,30,33,36,37,41-55,58,61,62,66-77	1-4, 9-11,14, 15,20,21
A	US 2002/099096 A1 (DALTON JAMES T ET AL) 25 July 2002 (2002-07-25) paragraphs '0002!, '0007! – '0016!, '0030! – '0033!; claims 1,12,14,16,18-20,44,45; example 2; table 1	1-27

**INTERNATIONAL SEARCH REPORT**

International application No.  
PCT/US2005/007245

**Box II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)**

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1.  Claims Nos.: because they relate to subject matter not required to be searched by this Authority, namely:  
**Although claims 26-27 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound.**
2.  Claims Nos.: because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3.  Claims Nos.: because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

**Box III Observations where unity of Invention is lacking (Continuation of item 3 of first sheet)**

This International Searching Authority found multiple inventions in this international application, as follows:

1.  As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2.  As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3.  As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4.  No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the Invention first mentioned in the claims; it is covered by claims Nos.:

**Remark on Protest**

- The additional search fees were accompanied by the applicant's protest.
- No protest accompanied the payment of additional search fees.

## INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US2005/007245

Patent document cited in search report		Publication date		Patent family member(s)	Publication date
WO 9822441	A	28-05-1998	AU CA EP JP WO	5585198 A 2272433 A1 0944580 A2 2001508408 T 9822441 A2	10-06-1998 28-05-1998 29-09-1999 26-06-2001 28-05-1998
WO 9822493	A	28-05-1998	AU BR CA CN EP HU ID JP NO NZ TR WO	5354398 A 9714358 A 2270876 A1 1237978 A 0942923 A2 0001383 A2 22275 A 2001519769 T 992426 A 335157 A 9901132 T2 9822493 A2	10-06-1998 21-03-2000 28-05-1998 08-12-1999 22-09-1999 28-05-2001 23-09-1999 23-10-2001 30-06-1999 26-01-2001 23-08-1999 28-05-1998
US 2002099096	A1	25-07-2002	US US US US US US US US US AU BR CA CN EP EP JP WO US	2002173495 A1 2003022868 A1 2003162761 A1 2003232792 A1 2003225040 A1 2004014975 A1 2004029913 A1 2004260108 A1 2005038110 A1 8523001 A 0114801 A 2420279 A1 1471508 A 1401801 A1 1491524 A2 2004518617 T 0216310 A1 2002099036 A1	21-11-2002 30-01-2003 28-08-2003 18-12-2003 04-12-2003 22-01-2004 12-02-2004 23-12-2004 17-02-2005 04-03-2002 14-10-2003 28-02-2002 28-01-2004 31-03-2004 29-12-2004 24-06-2004 28-02-2002 25-07-2002